

## SEQUENCE LISTING

<110> Martin Richard  
Flatt Brenton Todd  
Kahl Jeffrey Dean  
Wang Tie-Lin

## <120> HETEROCYCLIC MODULATORS OF NUCLEAR RECEPTORS

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Ala Pro Val Pro Asp Ile Pro Pro Asp Ser Ala Val Glu Leu Trp Lys  
10 15 20

cga ggc gca cag gat gca agc agc cag gcc cag gga ggc agc agc tgc 149  
Pro Gly Ala Gln Asp Ala Ser Ser Gln Ala Gln Gly Gly Ser Ser Cys  
25 30 35

atc ctc aga gag gaa gcc agg atg ccc cac tct gct ggg ggt act gca 197  
Ile Leu Arg Glu Glu Ala Arg Met Pro His Ser Ala Gly Gly Thr Ala  
40 45 50

ggg gtg ggg ctg gag gct gca gag ccc aca gcc ctg ctc acc agg gca 245  
Gly Val Gly Leu Glu Ala Ala Glu Pro Thr Ala Leu Leu Thr Arg Ala  
55 60 65 70

gag ccc cct tca gaa ccc aca gag atc cgt cca caa aag cgg aaa aag 293  
Glu Pro Pro Ser Glu Pro Thr Glu Ile Arg Pro Gln Lys Arg Lys Lys  
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Gly Pro Ala Pro Lys Met Leu Gly Asn Glu Leu Cys Ser Val Cys Gly

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Lys	Gly	Phe	Phe	Arg	Arg	Ser	Val	Ile	Lys	Gly	Ala	His	Tyr	Ile	Cys					
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His	Ser	Gly	Gly	His	Cys	Pro	Met	Asp	Thr	Tyr	Met	Arg	Arg	Lys	Cys					
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Gln	Glu	Cys	Arg	Leu	Arg	Lys	Cys	Arg	Gln	Ala	Gly	Met	Arg	Glu	Glu					
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Cys	Val	Leu	Ser	Glu	Glu	Gln	Ile	Arg	Leu	Lys	Lys	Leu	Lys	Arg	Gln					
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Glu	Glu	Glu	Gln	Ala	His	Ala	Thr	Ser	Leu	Pro	Pro	Arg	Arg	Ser	Ser					
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ccc	ccc	caa	atc	ctg	ccc	cag	ctc	agc	ccg	gaa	caa	ctg	ggc	atg	atc	677				
Pro	Pro	Gln	Ile	Leu	Pro	Gln	Leu	Ser	Pro	Glu	Gln	Leu	Gly	Met	Ile					
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Arg	Glu	Ala	Arg	Gln	Gln	Arg	Phe	Ala	His	Phe	Thr	Glu	Leu	Ala	Ile					
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ctg	cag	ctc	agc	cgg	gag	gac	cag	att	gcc	ctg	ctg	aag	acc	tct	gcg	917				
Leu	Gln	Leu	Ser	Arg	Glu	Asp	Gln	Ile	Ala	Leu	Leu	Lys	Thr	Ser	Ala					
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atc	gag	gtg	atg	ctt	ctg	gag	aca	tct	cgg	agg	tac	aac	cct	ggg	agt	965				
Ile	Glu	Val	Met	Leu	Leu	Glu	Thr	Ser	Arg	Arg	Tyr	Asn	Pro	Gly	Ser					
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Ser	Arg	Ala	Met	Asn	Glu	Leu	Gln	Leu	Asn	Asp	Ala	Glu	Phe	Ala	Leu					
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Leu Ile Ala Ile Ser Ile Phe Ser Ala Asp Arg Pro Asn Val Gln Asp
 360                               365                               370

cag ctc cag gtg gag agg ctg cag cac aca tat gtg gaa gcc ctg cat 1205
Gln Leu Gln Val Glu Arg Leu Gln His Thr Tyr Val Glu Ala Leu His
375                               380                               385                               390

gcc tac gtc tcc atc cac cat ccc cat gac cga ctg atg ttc cca cgg 1253
Ala Tyr Val Ser Ile His His Pro His Asp Arg Leu Met Phe Pro Arg
                               395                               400                               405

atg cta atg aaa ctg gtg agc ctc cgg acc ctg agc agc gtc cac tca 1301
Met Leu Met Lys Leu Val Ser Leu Arg Thr Leu Ser Ser Val His Ser
                               410                               415                               420

gag caa gtg ttt gca ctg cgt ctg cag gac aaa aag ctc cca ccg ctg 1349
Glu Gln Val Phe Ala Leu Arg Leu Gln Asp Lys Lys Leu Pro Pro Leu
                               425                               430                               435

ctc tct gag atc tgg gat gtg cac gaa tga ctgttctgtc cccatatttt 1399
Leu Ser Glu Ile Trp Asp Val His Glu *
                               440                               445

ctgtttttctt ggccggatgg ctgaggcctg gtggctgcct cctagaagtg gaacagactg 1459
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Gln Gly Gly Ser Ser Cys Ile Leu Arg Glu Glu Ala Arg Met Pro His
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Ser Ala Gly Gly Thr Ala Gly Val Gly Leu Glu Ala Ala Glu Pro Thr
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Ala Leu Leu Thr Arg Ala Glu Pro Pro Ser Glu Pro Thr Glu Ile Arg
65                               70                               75                               80
Pro Gln Lys Arg Lys Lys Gly Pro Ala Pro Lys Met Leu Gly Asn Glu
                               85                               90                               95
Leu Cys Ser Val Cys Gly Asp Lys Ala Ser Gly Phe His Tyr Asn Val
                               100                               105                               110
Leu Ser Cys Glu Gly Cys Lys Gly Phe Phe Arg Arg Ser Val Ile Lys
                               115                               120                               125
Gly Ala His Tyr Ile Cys His Ser Gly Gly His Cys Pro Met Asp Thr
                               130                               135                               140
Tyr Met Arg Arg Lys Cys Gln Glu Cys Arg Leu Arg Lys Cys Arg Gln
145                               150                               155                               160
Ala Gly Met Arg Glu Glu Cys Val Leu Ser Glu Glu Gln Ile Arg Leu
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Lys Lys Leu Lys Arg Gln Glu Glu Glu Gln Ala His Ala Thr Ser Leu
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Pro Pro Arg Arg Ser Ser Pro Pro Gln Ile Leu Pro Gln Leu Ser Pro
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Glu Gln Leu Gly Met Ile Glu Lys Leu Val Ala Ala Gln Gln Gln Cys
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Asn Arg Arg Ser Phe Ser Asp Arg Leu Arg Val Thr Pro Trp Pro Met
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Ala Pro Asp Pro His Ser Arg Glu Ala Arg Gln Gln Arg Phe Ala His
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Phe Thr Glu Leu Ala Ile Val Ser Val Gln Glu Ile Val Asp Phe Ala
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His	Tyr	Asn	Val	Leu	Ser	Cys	Glu	Gly	Cys	Lys	Gly	Phe	Phe	Arg	Arg		
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Ser	Val	Val	Arg	Gly	Gly	Ala	Arg	Arg	Tyr	Ala	Cys	Arg	Gly	Gly	Gly		
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acc	tgc	cag	atg	gac	gct	ttc	atg	cgg	cgc	aag	tgc	cag	cag	tgc	cgg	490	
Thr	Cys	Gln	Met	Asp	Ala	Phe	Met	Arg	Arg	Lys	Cys	Gln	Gln	Cys	Arg		
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ctg	cgc	aag	tgc	aag	gag	gca	ggg	atg	agg	gag	cag	tgc	gtc	ctt	tct	538	
Leu	Arg	Lys	Cys	Lys	Glu	Ala	Gly	Met	Arg	Glu	Gln	Cys	Val	Leu	Ser		
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gaa	gaa	cag	atc	cgg	aag	aag	aag	att	cgg	aaa	cag	cag	cag	gag	tca	586	
Glu	Glu	Gln	Ile	Arg	Lys	Lys	Lys	Ile	Arg	Lys	Gln	Gln	Gln	Glu	Ser		
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cag	tca	cag	tcg	cag	tca	cct	gtg	ggg	ccg	cag	ggc	agc	agc	agc	tca	634	
Gln	Ser	Gln	Ser	Gln	Ser	Pro	Val	Gly	Pro	Gln	Gly	Ser	Ser	Ser	Ser		
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gcc	tct	ggg	cct	ggg	gct	tcc	cct	ggg	gga	tct	gag	gca	ggc	agc	cag	682	
Ala	Ser	Gly	Pro	Gly	Ala	Ser	Pro	Gly	Gly	Ser	Glu	Ala	Gly	Ser	Gln		
	195					200					205						
ggc	tcc	ggg	gaa	ggc	gag	ggg	gtc	cag	cta	aca	gcg	gct	caa	gaa	cta	730	
Gly	Ser	Gly	Glu	Gly	Glu	Gly	Val	Gln	Leu	Thr	Ala	Ala	Gln	Glu	Leu		
210					215					220					225		
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Met	Ile	Gln	Gln	Leu	Val	Ala	Ala	Gln	Leu	Gln	Cys	Asn	Lys	Arg	Ser		
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ttc	tcc	gac	cag	ccc	aaa	gtc	acg	ccc	tgg	ccc	ctg	ggc	gca	gac	ccc	826	
Phe	Ser	Asp	Gln	Pro	Lys	Val	Thr	Pro	Trp	Pro	Leu	Gly	Ala	Asp	Pro		
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Gln	Ser	Arg	Asp	Ala	Arg	Gln	Gln	Arg	Phe	Ala	His	Phe	Thr	Glu	Leu		
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gcc	atc	atc	tca	gtc	cag	gag	atc	gtg	gac	ttc	gct	aag	caa	gtg	cct	922	
Ala	Ile	Ile	Ser	Val	Gln	Glu	Ile	Val	Asp	Phe	Ala	Lys	Gln	Val	Pro		
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ggg	ttc	ctg	cag	ctg	ggc	cgg	gag	gac	cag	atc	gcc	ctc	ctg	aag	gca	970	
Gly	Phe	Leu	Gln	Leu	Gly	Arg	Glu	Asp	Gln	Ile	Ala	Leu	Leu	Lys	Ala		
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Ser	Thr	Ile	Glu	Ile	Met	Leu	Leu	Glu	Thr	Ala	Arg	Arg	Tyr	Asn	His		
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gag	aca	gag	tgt	atc	acc	ttc	ttg	aag	gac	ttc	acc	tac	agc	aag	gac	1066	
Glu	Thr	Glu	Cys	Ile	Thr	Phe	Leu	Lys	Asp	Phe	Thr	Tyr	Ser	Lys	Asp		
			325					330				335					
gac	ttc	cac	cgt	gca	ggc	ctg	cag	gtg	gag	ttc	atc	aac	ccc	atc	ttc	1114	
Asp	Phe	His	Arg	Ala	Gly	Leu	Gln	Val	Glu	Phe	Ile	Asn	Pro	Ile	Phe		
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gag	ttc	tcg	cgg	gcc	atg	cgg	cgg	ctg	ggc	ctg	gac	gac	gct	gag	tac	1162	
Glu	Phe	Ser	Arg	Ala	Met	Arg	Arg	Leu	Gly	Leu	Asp	Asp	Ala	Glu	Tyr		
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Ala Leu Leu Ile Ala Ile Asn Ile Phe Ser Ala Asp Arg Pro Asn Val 385
370 375 380

cag gag ccg ggc cgc gtg gag gcg ttg cag cag ccc tac gtg gag gcg 1258
Gln Glu Pro Gly Arg Val Glu Ala Leu Gln Pro Tyr Val Glu Ala 400
390 395 400

ctg ctg tcc tac acg cgc atc aag agg ccg cag gac cag ctg cgc ttc 1306
Leu Leu Ser Tyr Thr Arg Ile Lys Arg Pro Gln Asp Gln Leu Arg Phe 415
405 410 415

ccg cgc atg ctc atg aag ctg gtg agc ctg cgc acg ctg agc tct gtg 1354
Pro Arg Met Leu Met Lys Leu Val Ser Leu Arg Thr Leu Ser Ser Val 430
420 425 430

cac tcg gag cag gtc ttc gcc ttg cgg ctc cag gac aag aag ctg ccg 1402
His Ser Glu Gln Val Phe Ala Leu Arg Leu Gln Asp Lys Lys Leu Pro 445
435 440 445

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Pro Leu Leu Ser Glu Ile Trp Asp Val His Glu * 460
450 455 460

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35 40 45
Thr Asp Glu Ala Ser Ser Ala Cys Ser Thr Asp Trp Val Ile Pro Asp
50 55 60
Pro Glu Glu Glu Pro Glu Arg Lys Arg Lys Lys Gly Pro Ala Pro Lys
65 70 75 80
Met Leu Gly His Glu Leu Cys Arg Val Cys Gly Asp Lys Ala Ser Gly
85 90 95
Phe His Tyr Asn Val Leu Ser Cys Glu Gly Cys Lys Gly Phe Phe Arg
100 105 110
Arg Ser Val Val Arg Gly Gly Ala Arg Arg Tyr Ala Cys Arg Gly Gly
115 120 125
Gly Thr Cys Gln Met Asp Ala Phe Met Arg Arg Lys Cys Gln Gln Cys
130 135 140
Arg Leu Arg Lys Cys Lys Glu Ala Gly Met Arg Glu Gln Cys Val Leu
145 150 155 160
Ser Glu Glu Gln Ile Arg Lys Lys Lys Ile Arg Lys Gln Gln Gln Glu
165 170 175
Ser Gln Ser Gln Ser Gln Ser Pro Val Gly Pro Gln Gly Ser Ser Ser
180 185 190
Ser Ala Ser Gly Pro Gly Ala Ser Pro Gly Gly Ser Glu Ala Gly Ser
195 200 205
Gln Gly Ser Gly Glu Gly Glu Gly Val Gln Leu Thr Ala Ala Gln Glu
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Leu Met Ile Gln Gln Leu Val Ala Ala Gln Leu Gln Cys Asn Lys Arg

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	His	Glu	Thr	Glu	Cys	Ile	Thr	Phe	Leu	Lys	Asp	Phe	Thr	Tyr	Ser	Lys	
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	Val	His	Ser	Glu	Gln	Val	Phe	Ala	Leu	Arg	Leu	Gln	Asp	Lys	Lys	Leu	
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 Met Asn  
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ctg att ggg ccc tcc cat tta caa gcc acg gac gag ttt gct ctt tct 225  
 Leu Ile Gly Pro Ser His Leu Gln Ala Thr Asp Glu Phe Ala Leu Ser  
 5 10 15

gaa aac tta ttt gga gtg cta aca gag cac gcg gca ggt cct ctg ggg 273  
 Glu Asn Leu Phe Gly Val Leu Thr Glu His Ala Ala Gly Pro Leu Gly  
 20 25 30

cag aat ctg gac ttg gaa tcg tac tcc cca tac aac aat gtg cag ttt 321  
 Gln Asn Leu Asp Leu Glu Ser Tyr Ser Pro Tyr Asn Asn Val Gln Phe  
 35 40 45 50

cct caa gtt cag cca cag atc tcc tcc tcg tcc tat tat tcc aac ctg 369  
 Pro Gln Val Gln Pro Gln Ile Ser Ser Ser Ser Tyr Tyr Ser Asn Leu  
 55 60 65

ggt	ttc	tac	ccg	caa	caa	ccg	gaa	gac	tgg	tac	tct	cct	gga	ctc	tat	417
Gly	Phe	Tyr	Pro	Gln	Gln	Pro	Glu	Asp	Trp	Tyr	Ser	Pro	Gly	Leu	Tyr	
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gaa	ctc	agg	cga	atg	ccc	act	gag	agt	gtg	tac	cag	gga	gag	act	gag	465
Glu	Leu	Arg	Arg	Met	Pro	Thr	Glu	Ser	Val	Tyr	Gln	Gly	Glu	Thr	Glu	
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gta	tcc	gag	atg	cct	gtg	aca	aag	aag	ccg	cga	atg	gcc	gcc	tca	tcg	513
Val	Ser	Glu	Met	Pro	Val	Thr	Lys	Lys	Pro	Arg	Met	Ala	Ala	Ser	Ser	
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gcg	gga	aga	ata	aaa	ggg	gat	gag	ctg	tgt	gtg	gtc	tgc	gga	gac	agg	561
Ala	Gly	Arg	Ile	Lys	Gly	Asp	Glu	Leu	Cys	Val	Val	Cys	Gly	Asp	Arg	
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gcc	tct	ggg	tac	cat	tac	aac	gcg	ctc	acc	tgc	gag	ggc	tgc	aaa	ggt	609
Ala	Ser	Gly	Tyr	His	Tyr	Asn	Ala	Leu	Thr	Cys	Glu	Gly	Cys	Lys	Gly	
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Phe	Phe	Arg	Arg	Ser	Ile	Thr	Lys	Asn	Ala	Val	Tyr	Lys	Cys	Lys	Asn	
			150					155					160			
ggg	ggc	aac	tgc	gtg	atg	gat	atg	tac	atg	cgt	cgg	aag	tgc	cag	gat	705
Gly	Gly	Asn	Cys	Val	Met	Asp	Met	Tyr	Met	Arg	Arg	Lys	Cys	Gln	Asp	
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tgc	cgg	cta	agg	aag	tgc	aga	gag	atg	gga	atg	ttg	gct	gaa	tgt	ttg	753
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Leu	Thr	Glu	Ile	Gln	Cys	Lys	Ser	Lys	Arg	Leu	Arg	Lys	Asn	Val	Lys	
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Pro Ser Leu His Pro Ser Leu Gly Pro Gly Ile Gly Ser Pro Gly Gln
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Ser Ser Pro Met Asn Pro Val Ser Ser Ser Ser Glu Asp Ile Lys Pro Pro
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cgc tac cag aag tgc ctg gcc atg ggc atg aag cgg gaa gcc gtg cag 686
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Glu Ser Pro Leu Ser Glu Glu Phe Leu Gln Glu Met Gly Asn Ile Gln
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Pro Arg Ser Glu Lys Ala Lys Leu Lys Ala Glu Ile Leu Thr Cys Glu  
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Arg Ile His Glu Ala Tyr Leu Lys Asn Phe Asn Met Asn Lys Val Lys  
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Cys Gly Asp Arg Pro Gly Leu Leu Asn Ile Gly Tyr Ile Glu Lys Leu  
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Phe	Ser	Lys	His	Ile	Tyr	Asn	Ala	Tyr	Leu	Lys	Asn	Phe	Asn	Met	Thr	
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Ile	Phe	Ala	Met	Leu	Ala	Ser	Ile	Val	Asn	Lys	Asp	Gly	Leu	Leu	Val	
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Lys	Met	Ala	Asp	Leu	Arg	Gln	Leu	Val	Thr	Glu	His	Ala	Gln	Met	Met	
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Gln	Trp	Leu	Lys	Lys	Thr	Glu	Ser	Glu	Thr	Leu	Leu	His	Pro	Leu	Leu	

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1323

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 Lys Met Ala Asp Leu Arg Gln Leu Val Thr Glu His Ala Gln Met Met  
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cggtaaatatt tagaagctgc acaggagagg aacatgaact gacgagtaaa c atg tat 297  
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Pro	Ser	Glu	Val	Glu	Thr	Leu	Arg	Glu	Lys	Val	Tyr	Ala	Thr	Leu	Glu	
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Ala	Tyr	Thr	Lys	Gln	Lys	Tyr	Pro	Glu	Gln	Pro	Gly	Arg	Phe	Ala	Lys	
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ctg	ctg	ctg	cgc	ctc	cca	gct	ctg	cgt	tcc	att	ggc	ttg	aaa	tgc	ctg	1593
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 Tyr Cys Arg Tyr Gln Lys Cys Leu Val Met Gly Met Lys Arg Glu Ala  
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 Val Gln Glu Glu Arg Gln Arg Ser Arg Glu Arg Ala Glu Ser Glu Ala  
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 290 295 300  
 Gly Trp Asn Glu Leu Leu Ile Ala Ser Phe Ser His Arg Ser Val Ser  
 305 310 315 320  
 Val Gln Asp Gly Ile Leu Leu Ala Thr Gly Leu His Val His Arg Ser  
 325 330 335  
 Ser Ala His Ser Ala Gly Val Gly Ser Ile Phe Asp Arg Val Leu Thr  
 340 345 350  
 Glu Leu Val Ser Lys Met Lys Asp Met Gln Met Asp Lys Ser Glu Leu  
 355 360 365  
 Gly Cys Leu Arg Ala Ile Val Leu Phe Asn Pro Asp Ala Lys Gly Leu  
 370 375 380  
 Ser Asn Pro Ser Glu Val Glu Thr Leu Arg Glu Lys Val Tyr Ala Thr



[illegible]

		195					200					205					
Val	Gly	Gly	Gly	Ser	Leu	Gly	Leu	Phe	Tyr	Ile	Pro	Ser	Pro	Ser	Phe		
	210					215					220						
Pro	Leu	Ile	Thr	Phe	Leu	Thr	Leu	Leu	Gly	Thr	Gly	Gly	Ala	Ala	Lys		
225					230					235					240		
Gln	Gly	Leu	Ser	Asn	Ile												
				245													